

09/746, 742

(FILE 'HOME' ENTERED AT 08:41:27 ON 01 MAR 2004)

FILE 'CAPLUS, EMBASE, BIOSIS, MEDLINE, WPIDS' ENTERED AT 08:41:36 ON 01 MAR 2004

L1 466 S (ECKERT, D? OR ECKERT D?)/AU,IN  
L2 3864 S (CHAN, D? OR CHAN D?)/AU,IN  
L3 166 S (MALASHKEVICH, V? OR MALASHKEVICH V?)/AU,IN  
L4 4021 S (KIM, P? OR KIM P?)/AU,IN  
L5 1475 S (CARR, P? OR CARR P?)/AU,IN  
L6 14 S (WHITEHEAD) (3A) (BIOMED?)  
L7 13 DUP REM L6 (1 DUPLICATE REMOVED)  
L8 2 S L1 AND L2 AND L3 AND L4 AND L5  
L9 1 DUP REM L8 (1 DUPLICATE REMOVED)  
L10 9884 S L1 OR L2 OR L3 OR L4 OR L5  
L11 290 S L10 AND (HIV?)  
L12 83 S L11 AND (FUSE? OR FUSION?)  
L13 71 S L12 AND (COIL? OR TRIMER? OR HELIX? OR HELIC?)  
L14 23 DUP REM L13 (48 DUPLICATES REMOVED)  
L15 2162 S (TRIMER?) (2A) (COMPLEX?)  
L16 153 S L15 AND (FUSE? OR FUSION?)  
L17 33 S (HELIX? OR HELIC?) AND L16  
L18 14 DUP REM L17 (19 DUPLICATES REMOVED)  
L19 12 S L18 NOT L14

=>

L14 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 19  
AB Infection with **HIV-1** is initiated by **fusion** of cellular and viral membranes. The gp41 subunit of the **HIV-1** envelope plays a major role in this process, but the structure of gp41 is unknown. The authors have identified a stable, proteinase-resistant structure comprising two peptides, N-51 and C-43, derived from a recombinant protein fragment of the gp41 ectodomain. In isolation, N-51 is predominantly aggregated and C-43 is unfolded. When mixed, however, these peptides associate to form a stable,  $\alpha$ - **helical**, discrete **trimer** of heterodimers. Proteolysis expts. indicate that the relative orientation of the N-51 and C-43 **helixes** in the complex is antiparallel. The authors propose that N-51 forms an interior, parallel, homotrimeric, **coiled-coil** core, against which three C-43 **helixes** pack in an antiparallel fashion. The authors suggest that this  $\alpha$ - **helical**, **trimeric** complex is the core of the **fusion**-competent state of the **HIV-1** envelope.

=> d 22

L14 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 19  
AN 1995:989556 CAPLUS  
DN 124:24301  
TI A **trimeric** structural domain of the **HIV-1** transmembrane glycoprotein  
AU Lu, Min; Blacklow, Stephen C.; Kim, Peter S.  
CS Howard Hughes Medical Institute, Massachusetts Institute Technology, Cambridge, MA, 02142, USA  
SO Nature Structural Biology (1995), 2(12), 1075-82  
CODEN: NSBIEW; ISSN: 1072-8368  
PB Nature Publishing Co.  
DT Journal  
LA English

L14 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 18  
AN 1997:274285 CAPLUS  
DN 126:340142  
TI Core structure of gp41 from the **HIV** envelope glycoprotein  
AU **Chan, David C.**; Fass, Deborah; Berger, James M.; **Kim, Peter S.**  
CS Whitehead Inst. Biomed. Res., Cambridge, MA, 02142, USA  
SO Cell (Cambridge, Massachusetts) (1997), 89(2), 263-273  
CODEN: CELLB5; ISSN: 0092-8674  
PB Cell Press  
DT Journal  
LA English

=> d 21 ab

L14 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 18  
AB The envelope glycoprotein of human immunodeficiency virus type 1 (**HIV-1**) consists of a complex of gp120 and gp41. The gp120 dets. viral tropism by binding to target-cell receptors, while gp41 mediates **fusion** between viral and cellular membranes. Previous studies identified an  $\alpha$ - **helical** domain within gp41 composed a **trimer** of two interacting peptides. The crystal structure of this complex, composed of the peptides N36 and C34, is a six-**helical** bundle. Three N36 **helixes** form an interior, parallel **coiled-coil trimer**, while three C34 **helixes** pack in an oblique, antiparallel manner into highly conserved, hydrophobic grooves on the surface of this **trimer**. This structure shows striking similarity to the low-pH-induced conformation of influenza hemagglutinin and likely represents the core of **fusion**-active gp41. Avenues for the design/discovery of small-mol. inhibitors of **HIV** infection are directly suggested by this structure.

09/744,742

(FILE 'HOME' ENTERED AT 07:36:55 ON 01 MAR 2004)

FILE 'REGISTRY' ENTERED AT 07:37:19 ON 01 MAR 2004  
19 S RMKQIEDKIEEIESKQKKIENEIARIKK/SQSP

L1

FILE 'CAPLUS' ENTERED AT 07:37:50 ON 01 MAR 2004  
6 S L1

L2

FILE 'REGISTRY' ENTERED AT 07:40:10 ON 01 MAR 2004  
2 S (LLXLTVWGXXLQXRXX)/SQSP

L3

FILE 'CAPLUS' ENTERED AT 07:40:35 ON 01 MAR 2004  
2 S L3

L4

L5 50965 F HIS

L6 2 S L2 AND L3

FILE 'REGISTRY' ENTERED AT 07:42:30 ON 01 MAR 2004  
1170 S (SGIVQQNNLLRAIEAQQHLLQLT)/SQSP

L7

FILE 'CAPLUS' ENTERED AT 07:43:01 ON 01 MAR 2004  
201 S L7

L8

L9 7 S L8 AND (COIL?) AND (TRIMER?)

L10 25 S L8 AND (HELIX? OR HELIC?)

L11 13 S L8 AND MODEL?

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L2 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2003:261952 CAPLUS  
 DN 138:283697  
 TI Anti-fusion assay for inhibitors of HIV gp41env-mediated membrane fusion  
 based on association of peptide fragments measured by capillary zone  
 electrophoresis  
 IN Xie, Dong; Erickson, John W.; Grulich, Paul  
 PA Tibotec Pharmaceuticals Ltd., Ire.  
 SO PCT Int. Appl., 31 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003027255	A2	20030403	WO 2002-US30611	20020927
	WO 2003027255	A3	20030522		
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
PRAI	US 2001-324948P	P	20010927		
OS	MARPAT 138:283697				

L2 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:240811 CAPLUS  
 DN 136:275140  
 TI Fusion protein comprising coiled-coil domain and HIV gp41 protein  
 N-terminal region as potent inhibitor of HIV entry  
 IN Eckert, Debra M.; Suntoko, Tara R.; Kim, Peter S.  
 PA Whitehead Institute for Biomedical Research, USA  
 SO PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002024735	A2	20020328	WO 2001-US29637	20010921
	WO 2002024735	A3	20030814		
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
AU	2001092944	A5	20020402	AU 2001-92944	20010921
EP	1353942	A2	20031022	EP 2001-973355	20010921
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
PRAI	US 2000-668072	A1	20000922		

WO 2001-US29637 W 20010921

L2 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:728945 CAPLUS  
DN 136:128622  
TI Design of potent inhibitors of HIV-1 entry from the gp41 N-peptide region  
AU Eckert, Debra M.; Kim, Peter S.  
CS Howard Hughes Medical Institute, Whitehead Institute for Biomedical  
Research, Department of Biology, Massachusetts Institute of Technology,  
Cambridge, MA, 02142, USA  
SO Proceedings of the National Academy of Sciences of the United States of  
America (2001), 98(20), 11187-11192  
CODEN: PNASA6; ISSN: 0027-8424  
PB National Academy of Sciences  
DT Journal  
LA English  
RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:270960 CAPLUS  
DN 135:40506  
TI Thermodynamics of Peptide Inhibitor Binding to HIV-1 gp41  
AU Cole, James L.; Garsky, Victor M.  
CS Department of Antiviral Research and Department of Medicinal Chemistry,  
Merck Research Laboratories, West Point, PA, 19486, USA  
SO Biochemistry (2001), 40(19), 5633-5641  
CODEN: BICHAW; ISSN: 0006-2960  
PB American Chemical Society  
DT Journal  
LA English  
RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:98600 CAPLUS  
DN 132:161230  
TI Inhibitors of HIV membrane fusion, and identification method  
IN Eckert, Debra M.; Chan, David C.; Malashkevich, Vladimir; Carr, Peter A.;  
Kim, Peter S.  
PA Whitehead Institute for Biomedical Research, USA  
SO PCT Int. Appl., 147 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	WO 2000006599	A1	20000210	WO 1999-US17351	19990730
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2338022	AA	20000210	CA 1999-2338022	19990730
	EP 1100818	A1	20010523	EP 1999-937691	19990730
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 2002077284	A1	20020620	US 2000-746742	20001221
PRAI	US 1998-94676P	P	19980730		
	US 1998-100265P	P	19980914		
	US 1998-101058P	P	19980918		
	US 1999-132295P	P	19990503		
	US 1997-43280P	P	19970417		

WO 1999-US17351 W 19990730  
OS MARPAT 132:161230  
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1999:673921 CAPLUS  
DN 132:30361  
TI Inhibiting HIV-1 entry: discovery of D-peptide inhibitors that target the  
gp41 coiled-coil pocket  
AU Eckert, Debra M.; Malashkevich, Vladimir N.; Hong, Lily H.; Carr, Peter  
A.; Kim, Peter S.  
CS Howard Hughes Medical Institute Whitehead Institute for Biomedical  
Research Department of Biology, Nine Cambridge Center, Massachusetts  
Institute of Technology, Cambridge, MA, 02142, USA  
SO Cell (Cambridge, Massachusetts) (1999), 99(1), 103-115  
CODEN: CELLB5; ISSN: 0092-8674  
PB Cell Press  
DT Journal  
LA English  
RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:240811 CAPLUS  
 DN 136:275140  
 TI Fusion protein comprising coiled-coil domain and HIV gp41 protein  
 N-terminal region as potent inhibitor of HIV entry  
 IN Eckert, Debra M.; Suntoke, Tara R.; Kim, Peter S.  
 PA Whitehead Institute for Biomedical Research, USA  
 SO PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002024735	A2	20020328	WO 2001-US29637	20010921
	WO 2002024735	A3	20030814		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2001092944	A5	20020402	AU 2001-92944	20010921
	EP 1353942	A2	20031022	EP 2001-973355	20010921
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRAI	US 2000-668072	A1	20000922		
	WO 2001-US29637	W	20010921		

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2000:98600 CAPLUS  
 DN 132:161230  
 TI Inhibitors of HIV membrane fusion, and identification method  
 IN Eckert, Debra M.; Chan, David C.; Malashkevich, Vladimir; Carr, Peter A.; Kim, Peter S.  
 PA Whitehead Institute for Biomedical Research, USA  
 SO PCT Int. Appl., 147 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000006599	A1	20000210	WO 1999-US17351	19990730
	W:	CA, JP, US			
	RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
	CA 2338022	AA	20000210	CA 1999-2338022	19990730
	EP 1100818	A1	20010523	EP 1999-937691	19990730
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	US 2002077284	A1	20020620	US 2000-746742	20001221
PRAI	US 1998-94676P	P	19980730		
	US 1998-100265P	P	19980914		
	US 1998-101058P	P	19980918		
	US 1999-132295P	P	19990503		
	US 1997-43280P	P	19970417		
	WO 1999-US17351	W	19990730		



L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2000:821564 CAPLUS  
 DN 133:360273  
 TI Crystal structure of the  $\alpha$ - **helical** core domain of gp41  
 from the HIV envelope glycoprotein  
 IN Chan, David C.; Fass, Deborah; Lu, Min; Berger, James M.; Kim, Peter S.  
 PA Whitehead Institute for Biomedical Research, USA  
 SO U.S., 32 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 6150088	A	20001121	US 1998-62241	19980417
	US 6506554	B1	20030114	US 2000-484925	20000118
	US 2003099935	A1	20030529	US 2002-200007	20020718
PRAI	US 1997-43280P	P	19970417		
	US 1998-62241	A3	19980417		
	US 2000-484925	A1	20000118		

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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